CLAIMS

1. Use of the compounds of the general formula **I**

wherein

- Means CH_2 or a heteroatom selected from the group consisting of O, S, S(=O), $S(=O)_2$, and NR^a , wherein R^a is hydrogen or a substituent selected from the group consisting of C_1 - C_3 -alkyl, C_1 - C_3 -alkanoyl, C_1 - C_7 -alkyloxycarbonyl, C_7 - C_{10} -arylalkyloxycarbonyl, C_7 - C_{10} -aroyl, C_7 - C_{10} -arylalkyl, C_3 - C_7 -alkylsilyl, C_5 - C_{10} -alkylsilylalkyloxyalkyl;
- Y and Z independently from each other mean one or more identical or different substituents linked to any available carbon atom selected from the group consisting of hydrogen, halogen, C₁-C₄-alkyl, C₂-C₄-alkenyl, C₂-C₄-alkinyl, trifluoromethyl, halo-C₁-C₄-alkyl, hydroxy, C₁-C₄-alkoxy, trifluoromethoxy, C₁-C₄-alkanoyl, amino, amino-C₁-C₄-alkyl, C₁-C₄-alkylamino, N-(C₁-C₄-alkyl)amino, thiol, C₁-C₄-alkylthio, C₁-C₄-alkylsulfonyl, C₁-C₄-alkylsulfinyl, carboxy, C₁-C₄-alkoxycarbonyl, nitro;



wherein G_A or G_B have a meaning of structures

 R^1 means CH_2OH , optionally substituted C_1 - C_7 -alkyloxycarbonyl or a substituent of the formula **II**:

$$(CH_2)_m - Q_1 - (CH_2)_n - Q_2 - N_1$$

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wherein

 R^2 and R^3 simultaneously or independently from each other represents hydrogen, C_1 - C_4 -alkyl, aryl or together with N have the meaning of optionally substituted heterocycle or heteroaryl;

n represents an integer from 0 to 3;

m represents an integer from 1 to 3;

 Q_1 and Q_2 independently from each other have the meaning of oxygen, sulfur or a group:

wherein substituents

 y_1 and y_2 independently from each other have the meaning of hydrogen, halogen, optionally substituted C_1 - C_4 -alkyl or aryl, hydroxy, C_1 -

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 C_4 -alkoxy, C_1 - C_4 -alkanoyl, thiol, C_1 - C_4 -alkylsulfonyl, C_1 - C_4 -alkylsulfinyl, nitro, or together form a carbonyl or imino group;

wherein for all substituents mentioned before an optionally substituted alkyl group is an alkyl group with one, two, three or more substituents which are halogen atom, hydroxy, C_1 - C_4 alkoxy, thiol, C_1 - C_4 alkylthio, amino, N- $(C_1$ - $C_4)$ alkylamino, N,N-di(C₁-C₄-alkyl)-amino, sulfonyl, C₁-C₄ alkylsulfonyl, sulfinyl, C₁-C₄ alkylsulfinyl; wherein aryl has the meaning of an aromatic ring as well as fused aromatic rings containing one ring with at least 6 carbon atoms or two rings with totally 10 carbon atoms and with alternating double bonds between carbon atoms; wherein a heteroaryl is a group which is an aromatic or partially aromatic group of a monocyclic or bicyclic ring with 4 to 12 carbon atoms, at least one of them being a hetero atom such as O, S or N, and the available nitrogen atom or carbon atom is the binding site of the group to the rest of the molecule either via a direct bond or via a C₁-C₄ alkylene group, wherein a heterocycle is a five-membere or six-member, fully saturated or partly unsaturated heterocyclic groups containing at least one hetero atom such as O, S or N, and the available nitrogen atom or carbon atom is the binding site of the group to the rest of the molecule either via a direct bond or via a C₁-C₄ alkylene group and wherein an optionally substituted aryl, heteroaryl or heterocycle is an aryl, heteroaryl or heterocycle group which is substituted with one or two substituent which are halogen, C₁-C₄ alkyl, cyano, nitro, hydroxy, C₁-C₄ alkoxy, thiol, C_1 - C_4 alkylthio, amino, N- $(C_1$ - C_4) alkylamino, N, N-di $(C_1$ - C_4 alkyl)-amino, sulfonyl, C₁-C₄ alkylsulfonyl, sulfinyl, C₁-C₄ alkylsulfinyl;

and of their pharmaceutically acceptable salts and solvates for the manufacture of pharmaceutical formulations for the treatment and prevention of diseases, damages and disorders of the central nervous system caused by disorders of neurochemical equilibrium of biogenic amines or other neurotransmitters.

- 2. Use according to claim 1, wherein the selected biogenic amines are serotonin, norepinephrine and dopamine.
- 3 Use according to claim 1, wherein neurotransmitter is glutamate.
- 4. Use according to claims 1, 2 or 3 wherein the compounds of the general formula I act upon the neurochemical equilibrium by regulating the synthesis, storing, releasing, metabolizing and/or reabsorption of biogenic amines or neurotransmitters and binding to their receptors.
- 5. Use according to claim 4, wherein the compounds of the general formula I show binding affinity to a receptor of one or more biogenic amines.
- 6. Use according to claim 5, wherein the compounds of the general formula I show significant binding affinity to serotonin 5-HT_{2A} and 5-HT_{2C} receptors.
- 7. Use according to claim 6, wherein the compounds of the general formula I show binding affinity to selected serotonin receptors in a concentration of IC50<1µM.
- 8. Use according to claim 1, wherein the compounds of the general formula **I** act as $\sigma 1$ receptor ligands in a concentration of IC₅₀<1 μ M by modulating central neurotransmitter system.
- 9. Use according to claims 1, 6 or 8, wherein the compounds of the general formula **I** show dual binding affinity to $\sigma 1$ receptor and to at least one serotonin receptor selected from 5-HT_{2A} and 5-HT_{2C}.
- 10. Use according to claim 1, wherein the diseases and disorders of the central nervous system are selected from the group consisting of anxiety, depression and modest depression, bipolar disorders, sleeping disorders, sexual disorders, psychosis,

borderline psychosis, schizophrenia, migraine, personality disorders and obsessive-compulsive disorders, social phobia or panic attacks, organic mental disorders in children, aggression, memory disorders and personality disorders in elderly people, addiction, obesity, bulimia and similar disorders, snoring, premenstrual troubles.

- 11. Use according to claim 1, wherein the damages of the central nervous system are caused by trauma, brain stroke, neurodegenerative diseases, cardiovascular disorders such as high blood pressure, thrombosis, infarct as well as by gastrointestinal disorders.
- 12. Use according to claim 1 wherein X represents O, S, or NR^a , wherein R^a is hydrogen or substituent selected from the group consisting of C_1 - C_3 -alkyl, C_1 - C_3 -alkanoyl, C_1 - C_7 -alkoxycarbonyl, C_7 - C_{10} -aroyl and C_7 - C_{10} -arylalkyl.
- 13. Use according to claims 1 or 12 wherein Y and Z independently from each other mean one or more identical or different substituents linked to any available carbon atom selected from the group consisting of hydrogen, fluorine, chlorine, bromine, C_1 - C_4 -alkyl, halo- C_1 - C_4 -alkyl, hydroxy, C_1 - C_4 -alkoxy, trifluoromethoxy, C_1 - C_4 -alkanoyl, amino, amino- C_1 - C_4 -alkyl, N- $(C_1$ - C_4 -alkyl)amino, N, N-di(C_1 - C_4 -alkyl)amino, thiol, C_1 - C_4 -alkylthio, cyano and nitro.
- 14. Use according to claims 1, 12 or 13 wherein R^1 has the meaning of CH_2OH , optionally substituted C_1 - C_7 -alkyl C_1 - C_7 -alkyloxycarbonyl or a substituent of the formula \mathbf{H} :

$$(CH_2)_m - Q_1 - (CH_2)_m - Q_2 - N R^2$$

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- R² and R³ simultaneously or independently from each other represent hydrogen, C₁-C₄-alkyl, aryl wherein ary has the meaning as defined above; or together with N have the meaning of heterocycle or heteroaryl selected from the group consisting of morpholine-4-yl, piperidine-1-yl, pyrrolidine-1-yl, imidazole-1-yl and piperazine-1-yl;
- m represents an integer from 1 to 3;
- n represents an integer from 0 to 3;

Q₁ and Q₂ independently from each other have the meaning of oxygen or CH₂ group.

- 15. Use according to claim 1, wherein the compounds of the general formula I, pharmaceutically acceptable salts and solvates thereof are selected from the group consisting of:
- 8-Oxa-1-thia-benzo[e]naphtho[3,2-h]azulene-2-carboxylic acid ethyl ester;
- 1,8-Dithia-benzo[e]naphtho[3,2-h]azulene-2-carboxylic acid ethyl ester;
- *3,10-Dithia-benzo*[e]*naphtho*[1,2-h]*azulene-2-carboxylic acid ethyl ester;*
- 10-Oxa-3-thia-benzo[e]naphtho[1,2-h]azulene-2-carboxylic acid ethyl ester;
- 11-Methoxy-8-oxa-1-thia- benzo[e]naphtho[3,2-h]azulene-2-carboxylic acid ethyl ester;
- 6,7,8,9-Tetrahydro-10-oxa-3-thia-benzo[e]naphtho[1,2-h]azulene-2-carboxylic acid ethyl ester;
- 10,11,12,13-Tetrahydro-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulene-2-carboxylic acid ethyl ester;
- (8-Oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-yl)-methanol;
- (1,8-Dithia-benzo[e]naphtho[3,2-h]azulen-2-yl)-methanol;
- (3,10-Dithia-benzo[e]naphtho[1,2-h]azulen-2-yl)-methanol;
- (10-Oxa-3-thia-benzo[e]naphtho[1,2-h]azulen-2-yl)-methanol;
- (11-Methoxy-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-yl)-methanol;
- (6,7,8,9-Tetrahydro-10-oxa-3-thia-benzo[e]naphtho[1,2-h]azulen-2-yl)-methanol;
- (10,11,12,13-Tetrahydro-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-yl)-methanol;
- Dimethyl-[2-(8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-ylmethoxy)-ethyl]-amine;

Dimethyl-[3-(8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-ylmethoxy)-propyl]-amine;

3-(8-Oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-ylmethoxy)-propylamine;

Dimethyl-[3-(1,8-dithia-benzo[e]naphtho[3,2-h]azulen-2-ylmethoxy)-propyl]-amine;

Dimethyl-[2-(3,10-dithia-benzo[e]naphtho[1,2-h]azulen-2-ylmethoxy)-ethyl]-amine;

Dimethyl-[3-(3,10-dithia-benzo[e]naphtho[1,2-h]azulen-2-ylmethoxy)-propyl]-amine;

Dimethyl-[2-(10-oxa-3-thia-benzo[e]naphtho[1,2-h]azulen-2-ylmethoxy)-ethyl]-amine;

Dimethyl-[3-(10-oxa-3-thia-benzo[e]naphtho[1,2-h]azulen-2-ylmethoxy)-propyl]-amine;

Dimethyl-[3-(11-methoxy-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-ylmethoxy)-propyl]-amine;

Dimethyl-[2-(6,7,8,9-tetrahydro-10-oxa-3-thia-benzo[e]naphtho[1,2-h]azulen-2-ylmethoxy)-ethyl]-amine;

Dimethyl-[3-(6,7,8,9-tetrahydro-10-oxa-3-thia-benzo[e]naphtho[1,2-h]azulen-2-ylmethoxy)-propyl]-amine;

3-(6,7,8,9-Tetrahydro-10-oxa-3-thia-benzo[e]naphtho[1,2-h]]azulen-2-ylmethoxy)-propylamine;

Methyl-[3-(6,7,8,9-tetrahydro-10-oxa-3-thia-benzo[e]naphtho[1,2-h]azulen-2-ylmethoxy)-propyl]-amine;

Dimethyl-[2-(10,11,12,13-tetrahydro-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-ylmethoxy)-ethyl]-amine;

Dimethyl-[3-(10,11,12,13-tetrahydro-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-ylmethoxy)-propyl]-amine;

4-[2-(10,11,12,13-Tetrahydro-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-ylmethoxy)-ethyl]-morpholine;

1-[2-(10,11,12,13-Tetrahydro-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-ylmethoxy)-ethyl]-piperidine;

1-[2-(10,11,12,13-Tetrahydro-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2-ylmethoxy)-ethyl]-pyrrolidine;

Dimethyl-[2-(10,11,12,13-tetrahydro-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2ylmethoxy)-propyl]-amine;

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Dimethyl-[1-methyl-(10,11,12,13-tetrahydro-8-oxa-1-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[3,2-thia-benzo[e]naphtho[a]*h*]*azulen-2-ylmethoxy*)*-ethyl*]*-amine*;

11-Hydroxy-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulene-2-carboxylic acid ethyl ester;

11-(2-Dimethylamino-ethoxy)-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulene-2carboxylic acid ethyl ester;

11-(3-Dimethylamino-propoxy)-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulene-2carboxylic acid ethyl ester; and

Dimethyl-(10,11,12,13-tetrahydro-8-oxa-1-thia-benzo[e]naphtho[3,2-h]azulen-2ylmethyl)amine.